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(54) Title: SOMATOSTATIN AGONISTS

$$X-A^1$$
-cyclo(D-Cys- A^3 - A^4 -Lys- A^6 - A^7)- A^8 - Y . (1)

$$N-(CH_2)_2-N$$
 $N-(CH_2)_2-SO_2-$ (b)

(57) Abstract: The present directed to vention is peptides of formula (I): X-A1-cyclo(D-Cys-A3-A4-Lys-A6-A7)-A8-Y, or a pharmaceutically acceptable salt thereof, wherein X is H, formula (a) or formula (b); A1 and A3 are each independently the D- or L-isomer of an amino acid selected from the group consisting of Phe, Tyr, Tyr(I), Trp, 3-Pal, 4-Pal, Cpa and Nal; A4 is L-Trp, D-Trp, L-β-methyl-Trp or D-β-methyl-Trp; A6 is -NH-(CHR1)n-CO-, where n is 2, 3, or 4; A7 is L- or D-Cys; A8 is the D- or L-isomer of an amino acid selected from the group consisting of Phe, Tyr, Tyr(I), Trp, Nal, Cpa, Val Leu, Ile, Ser and Thr; Y is NR2R3 where R2 and R3 are each independently H or (C₁-C₅)alkyl;

R1 is selected from the group consisting H, (C1-C4)alkyl and -CH2-aryl; wherein said aryl is an optionally substituted moiety selected from the group consisting of phenyl, 1-naphthyl, and 2-naphthyl, wherein said optionally substituted moiety is optionally substituted with one or more substituents each independently selected from the group consisting of (C1.6)alkyl, (C2.6)alkenyl, (C₂₋₆)alkynyl, aryl, aryl, (C₁₋₆)alkyl, (C₁₋₆)alkoxy, -N(R⁴R⁵), -COOH, -CON(R⁴R⁵), halo, -OH, -CN, and -NO₂; R⁴ and R⁵ each is, independently for each occurrence, H or (C1-3)alkyl; where the Cys of A2 is bonded to the Cys of A3 by a di-sulfide bond formed from the thiol groups of each Cys; pharmaceutical compositions comprising said peptides and the use thereof as a somatostatin receptor subtypes agonist. The peptides of the present invention bind selectively to the somatostatin subtype receptor type-5 and elicit an agonist effect from the somatostatin subtype receptors that the peptides bind to.

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